STN-Structure Search
12/28/07

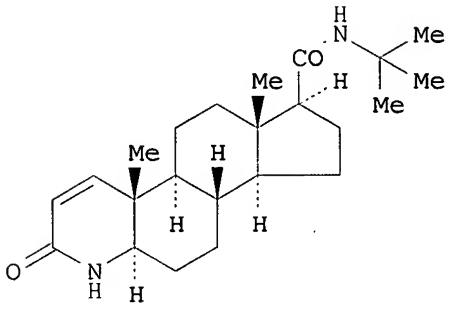
10/563,138

=> d ibib abs hitstr 1-6

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:793735 CAPLUS
DOCUMENT NUMBER: 147:166527
TITLE: Processes to prepare finasteride polymorphs
INVENTOR(S): Mandava, Venkata Naga Brahmeswara Rao; Singamsetty, Radhakrishna; Manne, Nagaraju; Vujjini, Satish Kumar
PATENT ASSIGNEE(S): India
SOURCE: U.S. Pat. Appl. Publ., 8pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2007167477	A1	20070719	US 2007-622555		20070112
IN 2006CH00057	A	20071123	IN 2006-CH57		20060113
PRIORITY APPLN. INFO.:			IN 2006-CH57	A	20060113
			US 2006-747973P	P	20060523

GI



I

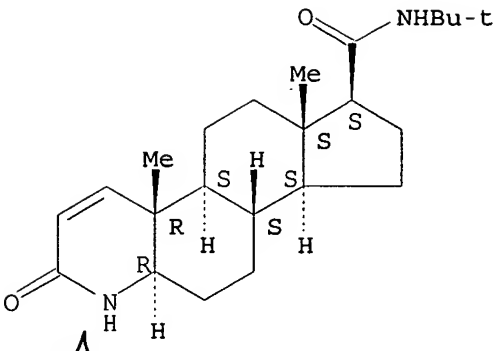
AB Processes were disclosed for the preparation of polymorphic crystalline Form I and Form III of finasteride (I).

IT 98319-26-7P, Finasteride
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of finasteride polymorphs)

RN 98319-26-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(1,1-dimethylethyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



Inventors

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:29343 CAPLUS
DOCUMENT NUMBER: 142:120533
TITLE: Process for the preparation of finasteride form I
INVENTOR(S): Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra
PATENT ASSIGNEE(S): Cipla Limited, India; Wain, Christopher Paul
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003149	A1	20050113	WO 2004-GB2906	20040705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2003MU00676	A	20050304	IN 2003-MU676	20030703
EP 1651661	A1	20060503	EP 2004-743251	20040705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 2007021455	A1	20070125	US 2006-563138	20060501

PRIORITY APPLN. INFO.:
IN 2003-MU676 A 20030703
WO 2004-GB2906 W 20040705

AB The invention provides a process for preparing finasteride form I, which comprises dissolving finasteride in a solvent, replacing the solvent partially or substantially completely with a nonsolvent and thereafter isolating finasteride form I. There is also provided the therapeutic use of finasteride form I in the inhibition of 5α-reductase, and pharmaceutical compns. containing the same.

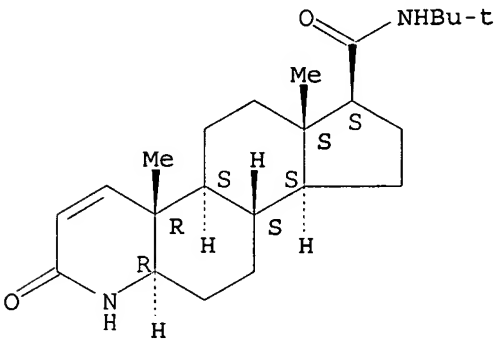
IT 98319-26-7P, Finasteride
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of finasteride form I and its pharmaceutical formulation for inhibiting 5α reductase)

RN 98319-26-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(1,1-dimethylethyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:390268 CAPLUS

DOCUMENT NUMBER: 140:395528

TITLE: Method of obtaining polymorphic form I of finasteride

INVENTOR(S): Silva Guisasola, Luis Octavio; Laderas Munoz, Mario; Martin Juarez, Jorge

PATENT ASSIGNEE(S): Ragactives, S.L., Spain

SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039828	A1	20040513	WO 2003-ES556	20031029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2206065	A1	20040501	ES 2002-2512	20021031
ES 2206065	B1	20050816		
AU 2003278193	A1	20040525	AU 2003-278193	20031029
EP 1580194	A1	20050928	EP 2003-769508	20031029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005228008	A1	20051013	US 2005-119027	20050429

PRIORITY APPLN. INFO.: ES 2002-2512 A 20021031
WO 2003-ES556 W 20031029

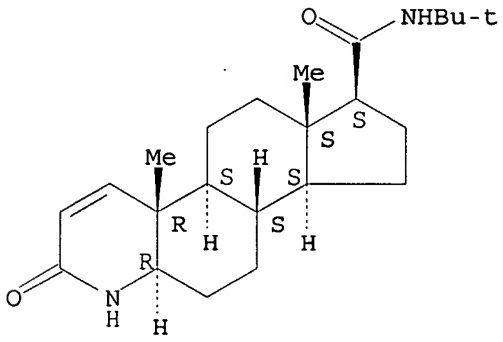
AB The invention relates to a method of obtaining polymorphic Form I of finasteride. The inventive method comprises the following steps: (i) finasteride is dissolved in a substantially-anhydrous organic solvent, which is selected from Bu acetate, iso-Bu acetate, sec-Bu acetate, tert-Bu acetate, alkyl acetate C5 and mixts. thereof, at a temperature which is equal to or less than the b.p. of the aforementioned organic solvent; (ii) the dissoln. of finasteride is cooled slowly to a cooling temperature which is determined according to the selected solvent; (iii) the resulting suspension is maintained at the cooling temperature for a period of, or less than, 16 h; and (iv) the solid phase containing crystals of Form I of finasteride is recovered, for example, by means of filtration and the solvent is removed, for example, by drying said crystals. The method can be used to obtain Form I of finasteride in the unique, pure form.

IT 98319-26-7P, Finasteride
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(method of obtaining polymorphic form I of finasteride)

RN 98319-26-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(1,1-dimethylethyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:151800 CAPLUS
DOCUMENT NUMBER: 132:166387
TITLE: Finasteride preparation
INVENTOR(S): Slemon, Clarke
PATENT ASSIGNEE(S): Torcan Chemical Ltd., Can.
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2338234	A	19991215	GB 1998-12454	19980610
GB 2338234	B	20000503		
CA 2389666	A1	20010510	CA 1999-2389666	19991101

WO 2001032683	A1	20010510	WO 1999-CA1017		19991101
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1228084	A1	20020807	EP 1999-953456		19991101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003513103	T	20030408	JP 2001-535382		19991101
AU 773067	B2	20040513	AU 2000-10213		19991101
NO 2002002093	A	20020603	NO 2002-2093		20020502
ZA 2002004299	A	20030529	ZA 2002-4299		20020529
IN 2002KN00724	A	20050311	IN 2002-KN724		20020529
US 6677453	B1	20040113	US 2002-111979		20020618
PRIORITY APPLN. INFO.:			GB 1998-12454	A	19980610
			WO 1999-CA1017	W	19991101

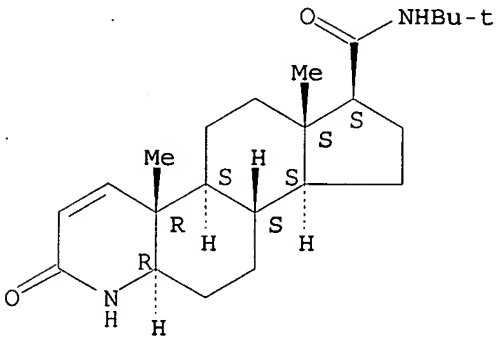
AB Polymorphic form I of finasteride was prepared by forming an insol. complex of finasteride and a Group I or Group II metal salt and the dissociating the complex by dissolving away the salt component with water to leave the substantially pure form I polymorphic crystalline finasteride.

IT 98319-26-7P, Finasteride
RL: PUR (Purification or recovery); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(finasteride preparation)

RN 98319-26-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(1,1-dimethylethyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1997:513504 CAPLUS
DOCUMENT NUMBER:	127:149281
TITLE:	Process for the production of finasteride polymorphic form I via crystallization
INVENTOR(S):	McCauley, James A.; Varsolona, Richard J.
PATENT ASSIGNEE(S):	Merck and Co., Inc., USA
SOURCE:	U.S., 6 pp., Cont.-in-part of U.S. 5,468,860. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5652365	A	19970729	US 1995-411685	19950330
US 5468860	A	19951121	US 1993-10734	19930129
WO 9411387	A2	19940526	WO 1993-US10659	19931105
WO 9411387	A3	19940929		
W: BB, BG, BR, BY, CZ, FI, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ				
RW: BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PL 179379	B1	20000831	PL 1993-309050	19931105
US 5886184	A	19990323	US 1997-824426	19970326

PRIORITY APPLN. INFO.:

US 1992-978535	B2	19921119
US 1993-10734	A2	19930129
WO 1993-US10659	W	19931105
US 1995-411685	A3	19950330

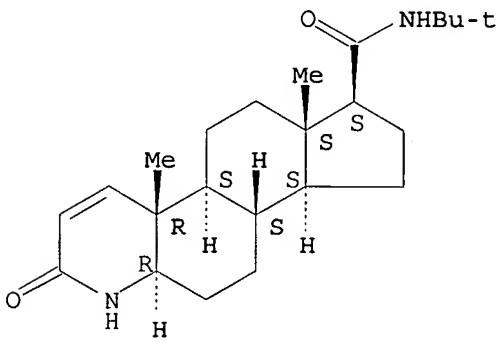
AB Polymorphic form I of finasteride, 17β-(N-tert-Bu carbamoyl)-4-aza-5α-androst-1-en-3-one, is produced in substantially pure form using the steps of: (1) crystallization from a solution of finasteride in a water immiscible organic solvent and 0% or more by weight of water, producing solvated and non-solvated finasteride in solution, such that the amount of organic solvent and water in the solution is sufficient to cause the solubility of the non-solvated form of finasteride to be exceeded and the non-solvated form of finasteride to be less soluble than any other form of finasteride in the organic solvent and water solution: (2) recovering the resultant solid phase; and (3) removing the solvent therefrom; wherein the water immiscible organic solvent is Et acetate or iso-Pr acetate and the amount of water in the solvent mixture is below 4 mg./mL.

IT 98319-26-7DP, Finasteride, polymorphic Form I
RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystallization of polymorphic Form I of finasteride)

RN 98319-26-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(1,1-dimethylethyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:557962 CAPLUS

DOCUMENT NUMBER: 121:157962

TITLE: A process for the production of finasteride and its polymorphs

10/563,138

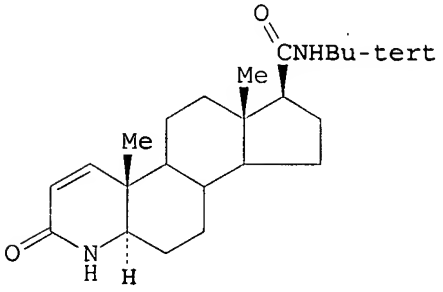
INVENTOR(S): Dolling, Ulf H.; McCauley, James A.; Varsolona, Richard J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 599376	A2	19940601	EP 1993-203163	19931112
EP 599376	A3	19940928		
EP 599376	B1	19980408		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5468860	A	19951121	US 1993-10734	19930129
EP 655458	A2	19950531	EP 1995-200270	19931112
EP 655458	A3	19960710		
EP 655458	B1	19990303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 823436	A2	19980211	EP 1997-201712	19931112
EP 823436	A3	19981125		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				

PRIORITY APPLN. INFO.: US 1992-978535 A 19921119
US 1993-10734 A 19930129
EP 1993-203163 A3 19931112

OTHER SOURCE(S): CASREACT 121:157962; MARPAT 121:157962

GI



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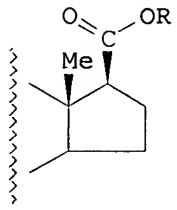


II

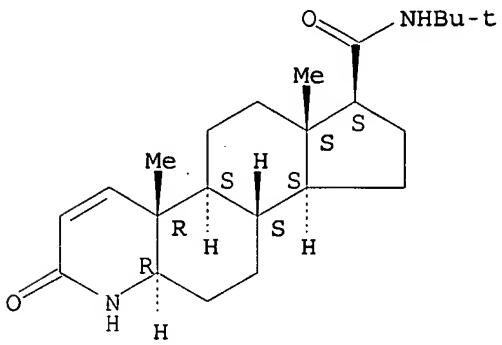
AB The 5α-reductase inhibitor finasteride (I) is prepared by reaction of 17β-carboalkoxy-4-aza-5α-androst-1-en-3-ones II [R = C1-10 linear, branched, or cyclic alkyl with optional Ph substituent(s)], as their Mg halide salts, with t-butylaminomagnesium halide, present in at least a 2:1 molar ratio to II, formed from tert-BuNH2 and an aliphatic/aryl magnesium halide at ambient temperature in an inert organic solvent under an inert atmospheric, followed by heating and recovering I. In 2 examples using II (R = Me), EtMgBr, and tert-BuNH2, under N in refluxing THF (12 h), I was prepared in 97% yield. Also disclosed are 2 polymorphic crystalline forms of I, and methods of their production Dissolving I in glacial AcOH and adding H2O up to ≥84 weight% H2O gives form I, whereas adding H2O up to 75-80 weight% H2O gives form II.

IT 98319-26-7P, Finasteride
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and polymorphic forms of)

RN 98319-26-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(1,1-dimethylethyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)

Absolute stereochemistry.



=> d his

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L2 1 S E5
L3 1 S E6

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L5 7256 S FORM I OR POLYMORPH I OR CRYSTALLINE FORM I
L6 6 S L4 AND L5

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L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
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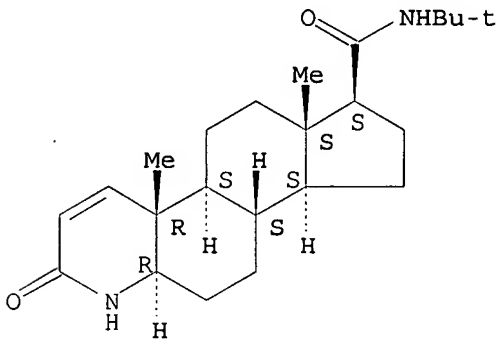
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 4-Azaandrost-1-ene-17-carboxamide, N-(1,1-dimethylethyl)-3-oxo-,
(5α,17β)-
OTHER NAMES:
CN Chibro-Proscar
CN Finasteride
CN Finastid
CN Fincar
CN Finpecia
CN Fistide
CN MK 906
CN Propecia
CN Proscar
CN Prostide
FS STEREOSEARCH

10/563,138

MF C23 H36 N2 O2
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, CSCHEM, CSNB, DDFU, DRUGU, EMBASE, HSDB*, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MEDLINE, MRCK*, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, RTECS*, SCISEARCH, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1011 REFERENCES IN FILE CA (1907 TO DATE)
11 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
1015 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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